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New aminoacid derivs. contg. hydroxy-alkylene-diamine gp. - useful as plasma renin inhibitors

Patent Assignee: MERCK PATENT GMBH (MERE )

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Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
EP 264795	A	19880427	EP 87114975	A	19871013	198817 B
DE 3635907	A	19880428	DE 3635907	A	19861022	198818
AU 8779823	A	19880428				198825
JP 63112548	A	19880517	JP 87265548	A	19871022	198825
ZA 8707950	A	19880426	ZA 867950	A	19861022	198830
HU 47596	T	19890328				198917

Priority Applications (No Type Date): DE 3635907 A 19861022

Cited Patents: A3...9013; EP 190891; EP 211580; GB 2167759; No-SR.Pub

Patent Details:

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EP 264795 A G 18

Designated States (Regional): AT BE CH DE ES FR GB IT LI NL SE

Abstract (Basic): EP 264795 A

Amino acid derivs. of formula (I) and their salts are new:

X-Z-NR2-CHR3-CHOH-(CH2)n-NR4-E-Y (I) X =H, COA1OR1, COOA1R1, COA1R1, SO2R1 or COA3(L(A1R1)(A2R1)); Z = 1-4 peptide-linked amino acid residues selected from 2-aminobutyric acid (Abu), adamantylalanine (Ada), Ala, Arg, Asn, Asp, benzimidazolylalanine (Bia), cyclohexylalanine (Cal), 2,4-diaminobutyric acid (Dab), Gln, Glu, Gly, His, N(im)-alkyl-His, homophenylalanine (Hph), Ile, Leu, tert-Leu, Lys, Met, alpha- or beta-naphthylalanine (alpha- or beta-Nal), 2-norbornylglycine (Nbg), Nle, Orn, Phe, Pro, pyridylalanine (Pyr), Ser, Thr, tetrahydro-isoquinoline-1-carboxylic acid (Tic), Trp, Tyr and Val; E =CONH, CSNH, COO, SO2, SO2NH or PO(OA)O; Y =R5, (CHR5)sCOOR6 or (CHR5)sCONR7R8; R1, R3, R6, R7 and R8 =H, A, Ar, Ar-alkyl, Het, Het-alkyl, 3-7C cycloalkyl (opt. substd. by A, OA and/or Hal), 4-11C cycloalkylalkyl, 7-14C bi- or tricycloalkyl or 8-18C bi or tricycloalkylalkyl; R2 and R4 =H or A; R5 =H, A, Ar, Ar-alkyl, 3-7C cycloalkyl or 4-11C cycloalkylalkyl; L =CH or N; A1-A3 =direct bonds or 1-5C alkylene; n =1 or 2; s =0 or 1; Ar =phenyl opt. substd. by A, OA, Hal, CF3, OH and/or NH2, or unsubstd. naphthyl; Het =satd. or unsatd. 5- or 6-membered heterocyclyl contg. 1-4 of N, O and S, opt. fused with a benzene ring and/or substd. by A, OA, Hal, CF3, OH, NO2, oxo, NH2, NHA, N(A)2, NHAc, SA, SOA, SO2A, COOA, CN, CONH2, SO2NH2, NHSO2A, Ar, Ar-alkenyl, 1-8C hydroxyalkyl and/or 1-8C aminoalkyl, where N and/or S heteroatoms are opt. oxidised; Hal =F, Cl, Br or I; Ac =COA, COAr or CONHA; A =1-8C alkyl, or E-Y =pyrrolidinocarbonyl, piperinocarbonyl, morpholinocarbonyl, pyrrolidinocarbonyl, piperidinocarbonyl or morpholinocarbonyl; one or more NHCO gps. may be replaced by NACO.

11 Cpds. (I) including 1-isopentyl-3-(2S-hydroxy-3S- (Boc-Phe-His amino)-4-cyclohexylbutyl)urea (Ia) are specifically claimed.

USE - (I) are selective renin inhibitors (18pp Dwg.No.0/0).

Title Terms: NEW; AMINOACID; DERIVATIVE; CONTAIN; HYDROXY; ALKYLENE; DI; AMINE; GROUP; USEFUL; PLASMA; RENIN; INHIBIT

Derwent Class: B05

International Patent Class (Additional): A61K-031/17; A61K-037/02;

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C07C-157/02; C07D-233/64; C07D-295/22; C07D-403/12; C07F-009/21;  
C07K-001/00; C07K-005/00

File Segment: CPI

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